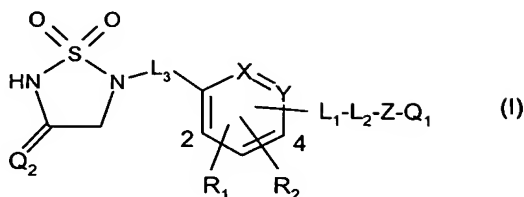


## Amendments to the Claims:

### What is claimed is:

Claim 1. (original) A compound of the formula



wherein

$R_1$  is hydrogen, halogen, hydroxy, alkoxy, carboxy, cyano, nitro, trifluoromethyl, alkynyl, alkylthio, heteroaralkyl, heteroaralkoxy or heteroaryloxy provided that  $R_1$  is located at the 2-position when  $L_3$  is  $-(CHR)_s-$  in which  $s$  is zero; or

$R_1$  is optionally substituted alkyl, alkenyl, optionally substituted amino, aralkyl, aralkoxy, aralkylthio, aryloxy, arylthio or cycloalkyl provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of  $R_1$  when

- (i)  $R_1$  is located at the 2-position and  $L_3$  is  $-(CHR)_s-$  in which  $s$  is zero;
- (ii)  $X$  and  $Y$  each are  $CH$ ; and
- (iii)  $Q_2$  is oxygen; or

$C-R_1$  may be replaced with nitrogen or  $N \rightarrow O$ ; or

$R_1$  and  $R_2$  combined together with the carbon atoms to which  $R_1$  and  $R_2$  are attached form an optionally substituted fused 5- to 6-membered aromatic or heteroaromatic ring provided that  $R_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$R_2$  is hydrogen, halogen, hydroxy, alkoxy, cyano, trifluoromethyl, nitro, optionally substituted amino, optionally substituted alkyl, alkylthio, aralkyl, heteroaralkyl, aralkoxy, heteroaralkoxy, aralkylthio, aryloxy, heteroaryloxy, arylthio or cycloalkyl; or

$R_2$  is  $-C(O)R_3$  wherein

$R_3$  is hydroxy or optionally substituted alkoxy; or

$R_3$  is  $-NR_4R_5$  in which  $R_4$  and  $R_5$  are independently hydrogen, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$L_1$  is a single bond; or

$L_1$  is carbon which combined together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_1$  is CH or nitrogen which taken together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_1$  is CH, oxygen, sulfur or nitrogen and  $L_2$  is carbon which combined together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_1$  is  $-CH_2-$ , oxygen, sulfur or  $-NR_6-$  and  $L_2$  is CH which taken together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur wherein  $R_6$  is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxy carbonyl, carbamoyl, sulfonyl or acyl provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other;

$L_2$  is  $-(CHR_7)_n-$  wherein

$R_7$  is hydrogen, hydroxy, alkoxy, carboxy, optionally substituted alkyl, cycloalkyl, aryl or heteroaryl;

$n$  is zero or an integer from 1 to 4;

$Z$  is  $-(CHR_8)_m-$ ,  $-(CH_2)_mO(CHR_8)_r-$ ,  $-(CH_2)_mS(CHR_8)_r-$  or  $-(CH_2)_mNR_9(CHR_8)_r-$  wherein

$R_8$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl;

$R_9$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxy carbonyl, heteroaryloxy carbonyl, carbamoyl, sulfonyl, acyl or acylamino;

$m$  and  $r$  are independently zero or an integer of 1 or 2;

$Q_1$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that

(i)  $Q_1$  is not 2-phenyloxazol-4-yl when

$R_1$  and  $R_2$  are hydrogen;

$X$  and  $Y$  each are CH;

$L_1$  is a single bond located at the 4-position;

$L_2$  is  $-(CHR_7)_n-$  wherein  $n$  is zero;

$L_3$  is  $-(CHR)_s-$  wherein  $s$  is zero;

$Z$  is  $-(CH_2)_mO(CHR_8)_r-$  wherein  $R_8$  is hydrogen,  $m$  is zero and  $r$  is 2; and

$Q_2$  is oxygen; or

(ii)  $Q_1$  is not hydrogen when

$R_1$  and  $R_2$  are hydrogen;

$X$  and  $Y$  each are CH;

$L_1$  is a single bond;

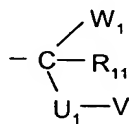
$L_2$  is  $-(CHR_7)_n-$  wherein  $n$  is zero;

$L_3$  is  $-(CHR)_s-$  wherein  $R$  is hydrogen and  $s$  is 1;

$Z$  is  $-(CHR_8)_m-$  wherein  $m$  is zero; and

$Q_2$  is oxygen; or

$Q_1$  is  $-C(O)NR_{4a}R_{5a}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$  or  $-S(O)_qR_{10}$  wherein  $R_{4a}$  and  $R_{5a}$  are as defined for  $R_4$  and  $R_5$ ;  $R_{10}$  is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;  $q$  is an integer of 1 or 2; or



$Q_1$  is a radical of the formula wherein

$W_1$  is aryl, heteroaryl, aralkyl or heteroaralkyl; or

$W_1$  is  $-C(O)R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or

$R_{3a}$  is  $-NR_{4a}R_{5a}$  in which  $R_{4a}$  and  $R_{5a}$  are as defined for  $R_4$  and  $R_5$ ;

$R_{11}$  is hydrogen, alkyl or aryl;

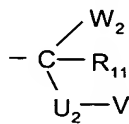
$U_1$  is  $-C(O)-$ ,  $-S(O)_2-$  or  $-(CH_2)_r-$  in which  $r$  is as defined for  $Z$ ;

$V_1$  is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

$V_1$  is  $-NR_{4b}R_{5b}$  in which  $R_{4b}$  and  $R_{5b}$  are as defined for  $R_4$  and  $R_5$  provided that

(i)  $L_2$  is  $-(CHR_7)_n-$  in which  $n$  is an integer of 1 or 2; and

(ii)  $Z$  is  $-(CHR_8)_m-$  in which  $m$  is zero; or



$Q_1$  is a radical of the formula wherein

$W_2$  is  $-C(O)R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or

$R_{3a}$  is  $-NR_{4a}R_{5a}$  in which  $R_{4a}$  and  $R_{5a}$  are as defined for  $R_4$  and  $R_5$ ;

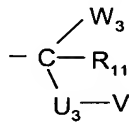
$R_{11}$  is hydrogen, alkyl or aryl;

$U_2$  is  $-(CH_2)_p-$  in which  $p$  is zero or 1;

$V_2$  is  $-NR_{4b}C(O)R_{5b}$ ,  $-NR_{4b}C(O)OR_{5b}$ ,  $-NR_{4b}C(O)NR_{4c}R_{5b}$  or  $-NR_{4b}S(O)_2R_{5b}$  in which  $R_{4b}$  and  $R_{4c}$  are as defined for  $R_4$ , and  $R_{5b}$  has a meaning as defined for  $R_5$  provided that

(i)  $L_2$  is  $-(CHR_7)_n-$  in which  $n$  is an integer of 1 or 2; and

(ii)  $Z$  is  $-(CHR_8)_m-$  in which  $m$  is zero; or



$Q_1$  is a radical of the formula wherein

$W_3$  is  $-C(O)R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or

$R_{3a}$  is  $-NR_{4a}R_{5a}$  in which  $R_{4a}$  and  $R_{5a}$  are as defined for  $R_4$  and  $R_5$ ;

$R_{11}$  is hydrogen, alkyl or aryl;

$U_3$  is  $-(CH_2)_p-$  in which  $p$  is zero or 1;

$V_3$  is  $-NHC(O)CHR_{4b}NHC(O)R_{12}$  wherein  $R_{4b}$  is as defined for  $R_4$ ;  $R_{12}$  is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

$R_{12}$  is  $-NR_{4c}R_{5b}$ , in which  $R_{4c}$  and  $R_{5b}$  are as defined for  $R_4$  and  $R_5$  provided that

(i)  $L_2$  is  $-(CHR_7)_n-$  in which  $n$  is an integer of 1 or 2; and

(ii)  $Z$  is  $-(CHR_8)_m-$  in which  $m$  is zero;

$L_3$  is  $-(CHR)_s-$  wherein

$R$  is hydrogen, carboxy, optionally substituted alkyl, cycloalkyl, aryl or heteroaryl;  
 $s$  is zero or an integer from 1 to 3;

$Q_2$  is oxygen, sulfur or  $NR_{13}$  wherein

$R_{13}$  is hydrogen, hydroxy or lower alkyl;

$X$  and  $Y$  are independently CH or nitrogen; or

$-X=Y-$  is sulfur, oxygen or  $-NR_{14}-$  wherein

$R_{14}$  is hydrogen, optionally substituted alkyl, alkoxycarbonyl, acyl, aryloxycarbonyl, heteroaryloxycarbonyl, carbamoyl or sulfonyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 2. (original) A compound according to claim 1 wherein

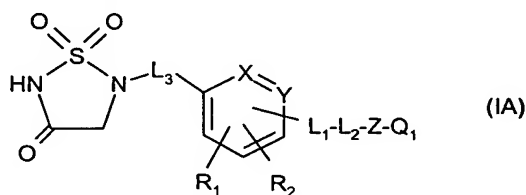
$Q_2$  is oxygen;

$X$  and  $Y$  each are CH; or

$-X=Y-$  is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 3. (original) A compound according to claim 2 of the formula



wherein

$R_1$  is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, alkylthio, heteroaralkyl or heteroaralkoxy provided that  $R_1$  is located at the 2-position when  $L_3$  is  $-(CHR)_s-$  in which  $s$  is zero; or

$R_1$  is optionally substituted alkyl, aralkyl, aralkoxy or aryloxy provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged

nitrogen containing heterocycle does not constitute part of  $R_1$  when

- (i)  $R_1$  is located at the 2-position and  $L_3$  is  $-(CHR)_s-$  in which  $s$  is zero; and
- (ii)  $X$  and  $Y$  each are  $CH$ ;

$R_2$  is hydrogen; or

$R_2$  is  $-C(O)R_3$  wherein

$R_3$  is hydroxy or optionally substituted alkoxy; or

$R_3$  is  $-NR_4R_5$  in which  $R_4$  and  $R_5$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$L_1$  is a single bond; or

$L_1$  is carbon which combined together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_1$  is  $CH$  or nitrogen which taken together with  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_1$  is  $CH$ , oxygen, sulfur or nitrogen and  $L_2$  is carbon which combined together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form an optionally substituted fused 5- or 6-membered aromatic or heteroaromatic ring provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_1$  is  $-CH_2-$ , oxygen, sulfur or  $-NR_6-$  and  $L_2$  is  $CH$  which taken together with  $L_1$ ,  $R_2$  and the carbon atoms to which  $L_1$  and  $R_2$  are attached form a fused 5- to 7-membered ring which may be interrupted with one or two heteroatoms selected from oxygen, nitrogen and sulfur wherein

$R_6$  is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonyl or acyl provided that  $L_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$L_2$  is  $-(CHR_7)_n-$  wherein

$R_7$  is hydrogen;

$n$  is zero or an integer of 1 or 2;

$Z$  is  $-(CHR_8)_m-$ ,  $-(CH_2)_mO(CHR_8)_r-$ ,  $-(CH_2)_mS(CHR_8)_r-$  or  $-(CH_2)_mNR_9(CHR_8)_r-$  wherein

$R_8$  is hydrogen or optionally substituted alkyl;

$R_9$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl;

$m$  and  $r$  are independently zero or an integer of 1 or 2;

$Q_1$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that

- (i)  $Q_1$  is not 2-phenyloxazol-4-yl when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

L<sub>1</sub> is a single bond located at the 4-position;

L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein s is zero; and

Z is -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>- wherein R<sub>8</sub> is hydrogen, m is zero and r is 2; or

(ii) Q<sub>1</sub> is not hydrogen when

R<sub>1</sub> and R<sub>2</sub> are hydrogen;

X and Y each are CH;

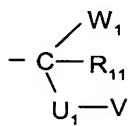
L<sub>1</sub> is a single bond;

L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- wherein n is zero;

L<sub>3</sub> is -(CHR)<sub>s</sub>- wherein R is hydrogen and s is 1; and

Z is -(CHR<sub>8</sub>)<sub>m</sub>- wherein m is zero; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>; R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; q is an integer of 1 or 2; or



Q<sub>1</sub> is a radical of the formula wherein

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl; or

W<sub>1</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

R<sub>11</sub> is hydrogen, alkyl or aryl;

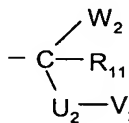
U<sub>1</sub> is -C(O)- or -(CH<sub>2</sub>)<sub>r</sub>- in which r is as defined for Z;

V<sub>1</sub> is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

V<sub>1</sub> is -NR<sub>4b</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>5b</sub> are as defined for R<sub>4</sub> and R<sub>5</sub> provided that

(i) L<sub>2</sub> is -(CHR<sub>7</sub>)<sub>n</sub>- in which n is an integer of 1 or 2; and

(ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or



Q<sub>1</sub> is a radical of the formula wherein

W<sub>2</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are as defined for R<sub>4</sub> and R<sub>5</sub>;

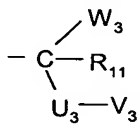
R<sub>11</sub> is hydrogen, alkyl or aryl;

U<sub>2</sub> is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

V<sub>2</sub> is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which

$R_{4b}$  and  $R_{4c}$  are as defined for  $R_4$ , and  $R_{5b}$  has a meaning as defined for  $R_5$  provided that

- (i)  $L_2$  is  $-(CHR_7)_n-$  in which  $n$  is an integer of 1 or 2; and
- (ii)  $Z$  is  $-(CHR_8)_m-$  in which  $m$  is zero; or

$Q_1$  is a radical of the formula  wherein

$W_3$  is  $-C(O)R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or

$R_{3a}$  is  $-NR_{4a}R_{5a}$  in which  $R_{4a}$  and  $R_{5a}$  are as defined for  $R_4$  and  $R_5$ ;

$R_{11}$  is hydrogen, alkyl or aryl;

$U_3$  is  $-(CH_2)_p-$  in which  $p$  is zero or 1;

$V_3$  is  $-NHC(O)CHR_{4b}NHC(O)R_{12}$  wherein  $R_{4b}$  is as defined for  $R_4$ ;  $R_{12}$  is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

$R_{12}$  is  $-NR_{4c}R_{5b}$ , in which  $R_{4c}$  and  $R_{5b}$  are as defined for  $R_4$  and  $R_5$  provided that

- (i)  $L_2$  is  $-(CHR_7)_n-$  in which  $n$  is an integer of 1 or 2; and
- (ii)  $Z$  is  $-(CHR_8)_m-$  in which  $m$  is zero;

$L_3$  is  $-(CHR)_s-$  wherein

$R$  is hydrogen;

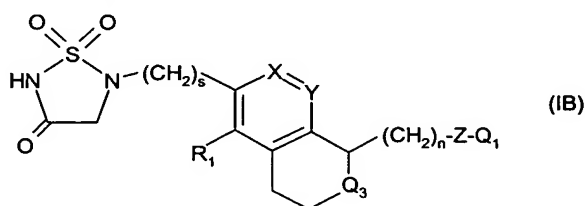
$s$  is zero or an integer from 1 to 3;

$X$  and  $Y$  each are  $CH$ ; or

$-X=Y-$  is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 4. (original) compound according to claim 3 of the formula



wherein

$R_1$  is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, optionally substituted alkyl, alkylthio, aralkyl, aralkoxy, aryloxy, heteroaralkyl or heteroaralkoxy;

$n$  is zero or an integer of 1 or 2;

$Z$  is  $-(CHR_8)_m-$ ,  $-(CH_2)_mO(CHR_8)_r-$ ,  $-(CH_2)_mS(CHR_8)_r-$  or  $-(CH_2)_mNR_8(CHR_8)_r-$  wherein  $R_8$  is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl;  
m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

s is zero or an integer of 1 or 2;

Q<sub>3</sub> is O, S or -NR<sub>6a</sub>- wherein

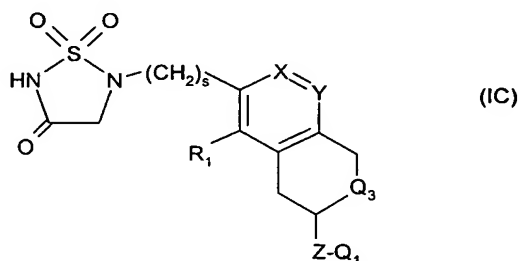
R<sub>6a</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxy carbonyl, carbamoyl, sulfonyl or acyl;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 5. (original) compound according to claim 3 of the formula



wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl, optionally substituted alkyl, alkylthio, aralkyl, aralkoxy, aryloxy, heteroaralkyl or heteroaralkoxy;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein  
R<sub>8</sub> is hydrogen;

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl or acyl;  
m and r are independently zero or an integer of 1 or 2;

Q<sub>1</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl,



heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

s is zero or an integer of 1 or 2;

Q<sub>3</sub> is O, S or -NR<sub>6a</sub>- wherein

R<sub>6a</sub> is hydrogen, optionally substituted alkyl, aralkyl, heteroaralkyl, alkoxycarbonyl, aryloxy carbonyl, carbamoyl, sulfonyl or acyl;

X and Y are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 6. (original) compound according to claim 3 wherein

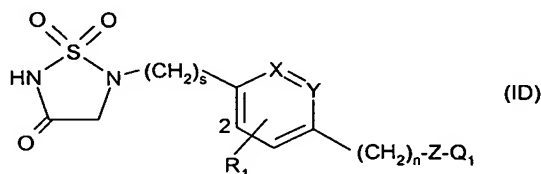
R<sub>2</sub> is hydrogen;

L<sub>1</sub> is a single bond;

L<sub>2</sub> is -(CH<sub>2</sub>)<sub>n</sub>- in which n is zero or an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 7. (original) A compound according to claim 6 of the formula



wherein

R<sub>1</sub> is hydrogen, halogen, hydroxy, alkoxy, trifluoromethyl or alkylthio provided that R<sub>1</sub> is located at the 2-position when s is zero; or

R<sub>1</sub> is optionally substituted alkyl, aralkyl, aralkoxy or aryloxy provided that a monocyclic aryl group which is substituted at the para position with a methylene or ethylene bridged nitrogen containing heterocycle does not constitute part of R<sub>1</sub> when

(i) R<sub>1</sub> is located at the 2-position and s is zero; and

(ii) X and Y each are CH;

n is zero or an integer of 1 or 2;

s is zero or 1;

Z is -(CHR<sub>8</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CHR<sub>8</sub>)<sub>r</sub>-, -(CH<sub>2</sub>)<sub>m</sub>S(CHR<sub>8</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CHR<sub>8</sub>)<sub>r</sub>- wherein

R<sub>8</sub> is hydrogen;

$R_9$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or acyl;  
 $m$  and  $r$  are independently zero or an integer of 1 or 2;

$Q_1$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl provided that  
 (i)  $Q_1$  is not 2-phenyloxazol-4-yl when

$R_1$  is hydrogen;

$X$  and  $Y$  each are CH;

$n$  is zero;

$s$  is zero; and

$Z$  is  $-(CH_2)_mO(CHR_8)_r-$  wherein  $R_8$  is hydrogen,  $m$  is zero and  $r$  is 2; or

(ii)  $Q_1$  is not hydrogen when

$R_1$  is hydrogen;

$X$  and  $Y$  each are CH;

$n$  is zero;

$s$  is 1;

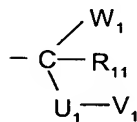
$Z$  is  $-(CHR_8)_m-$  wherein  $m$  is zero; or

$Q_1$  is  $-C(O)NR_{4a}R_{5a}$ ,  $-C(O)R_{10}$ ,  $-C(O)OR_{10}$  or  $-S(O)_qR_{10}$  wherein

$R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$R_{10}$  is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$q$  is an integer of 1 or 2; or



$Q_1$  is a radical of the formula wherein

$W_1$  is aryl, heteroaryl, aralkyl or heteroaralkyl; or

$W_1$  is  $-C(O)R_{3a}$  in which  $R_{3a}$  is hydroxy or optionally substituted alkoxy; or

$R_{3a}$  is  $-NR_{4a}R_{5a}$  in which  $R_{4a}$  and  $R_{5a}$  are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$R_{11}$  is hydrogen, alkyl or aryl;

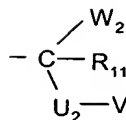
$U_1$  is  $-C(O)-$  or  $-(CH_2)_r-$  in which  $r$  is as defined for  $Z$ ;

$V_1$  is hydroxy, alkoxy, aryl, heteroaryl, optionally substituted alkyl or cycloalkyl; or

$V_1$  is  $-NR_{4b}R_{5b}$  in which  $R_{4b}$  and  $R_{5b}$  are as defined for  $R_{4a}$  and  $R_{5a}$  provided that

(i)  $n$  is an integer of 1 or 2; and

(ii)  $Z$  is  $-(CHR_8)_m-$  in which  $m$  is zero; or



Q<sub>1</sub> is a radical of the formula wherein

W<sub>2</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

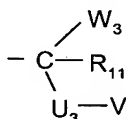
R<sub>11</sub> is hydrogen, alkyl or aryl;

U<sub>2</sub> is -(CH<sub>2</sub>)<sub>p</sub>- in which p is zero or 1;

V<sub>2</sub> is -NR<sub>4b</sub>C(O)R<sub>5b</sub>, -NR<sub>4b</sub>C(O)OR<sub>5b</sub>, -NR<sub>4b</sub>C(O)NR<sub>4c</sub>R<sub>5b</sub> or -NR<sub>4b</sub>S(O)<sub>2</sub>R<sub>5b</sub> in which R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub> provided that

(i) n is an integer of 1 or 2; and

(ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero; or



Q<sub>1</sub> is a radical of the formula wherein

W<sub>3</sub> is -C(O)R<sub>3a</sub> in which R<sub>3a</sub> is hydroxy or optionally substituted alkoxy; or

R<sub>3a</sub> is -NR<sub>4a</sub>R<sub>5a</sub> in which R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

U<sub>3</sub> is -(CH<sub>2</sub>)<sub>r</sub>- in which r is zero or 1;

V<sub>3</sub> is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4a</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl, alkoxy or cycloalkyl; or

R<sub>12</sub> is -NR<sub>4c</sub>R<sub>5b</sub> in which R<sub>4c</sub> is as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub> provided that

(i) n is an integer of 1 or 2; and

(ii) Z is -(CHR<sub>8</sub>)<sub>m</sub>- in which m is zero;

X and Y each are CH; or

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 8. (original) A compound according to claim 7 wherein

-X=Y- is sulfur;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 9. (original) A compound according to claim 7 wherein

R<sub>1</sub> is bromide;

X and Y each are CH;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 10. (original) A compound according to claim 7 wherein

n is zero;

s is 1;

Z is -(CH<sub>2</sub>)<sub>m</sub>- in which m is zero;

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

q is an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 11. (original) A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is -(CH<sub>2</sub>)<sub>m</sub>-, -(CH<sub>2</sub>)<sub>m</sub>O(CH<sub>2</sub>)<sub>r</sub>- or -(CH<sub>2</sub>)<sub>m</sub>S(CH<sub>2</sub>)<sub>r</sub>- wherein

m is zero;

r is zero or 1;

Q<sub>1</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 12. (original) A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is -(CH<sub>2</sub>)<sub>m</sub>NR<sub>9</sub>(CH<sub>2</sub>)<sub>r</sub>- wherein

R<sub>9</sub> is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl or acyl;

m is zero;

r is zero or 1;

Q<sub>1</sub> is optionally substituted alkyl, cycloalkyl, aryl or heterocyclyl; or

Q<sub>1</sub> is -C(O)NR<sub>4a</sub>R<sub>5a</sub>, -C(O)R<sub>10</sub>, -C(O)OR<sub>10</sub> or -S(O)<sub>q</sub>R<sub>10</sub> wherein

R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>10</sub> is optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

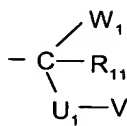
q is an integer of 1 or 2;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 13. (original) A compound according to claim 7 wherein

n is an integer of 1 or 2;

Z is  $-(CH_2)_m-$  wherein m is zero;



Q<sub>1</sub> is a radical of the formula

W<sub>1</sub> is aryl, heteroaryl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen, alkyl or aryl;

U<sub>1</sub> is  $-C(O)-$  or  $-(CH_2)_r-$  in which r is zero;

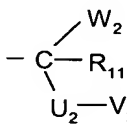
V<sub>1</sub> is aryl, heteroaryl, optionally substituted alkyl or cycloalkyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 14. (original) A compound according to claim 7 wherein

n is 1;

Z is  $-(CH_2)_m-$  wherein m is zero;



Q<sub>1</sub> is a radical of the formula

W<sub>2</sub> is  $-C(O)R_{3a}$  in which R<sub>3a</sub> is  $-NR_{4a}R_{5a}$ , and R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen;

U<sub>2</sub> is  $-(CH_2)_p-$  in which p is zero;

V<sub>2</sub> is  $-NR_{4b}C(O)R_{5b}$ ,  $-NR_{4b}C(O)OR_{5b}$ ,  $-NR_{4b}C(O)NR_{4c}R_{5b}$  or  $-NR_{4b}S(O)_2R_{5b}$  in which

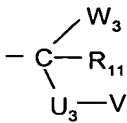
R<sub>4b</sub> and R<sub>4c</sub> are as defined for R<sub>4a</sub>, and R<sub>5b</sub> has a meaning as defined for R<sub>5a</sub>;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 15. (original) A compound according to claim 7 wherein

n is 1;

Z is  $-(CH_2)_m-$  wherein m is zero;



Q<sub>1</sub> is a radical of the formula

W<sub>3</sub> is  $-C(O)R_{3a}$  in which R<sub>3a</sub> is  $-NR_{4a}R_{5a}$ , and R<sub>4a</sub> and R<sub>5a</sub> are independently hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

R<sub>11</sub> is hydrogen;

U<sub>3</sub> is  $-(CH_2)_p-$  in which p is zero;

V<sub>3</sub> is -NHC(O)CHR<sub>4b</sub>NHC(O)R<sub>12</sub> wherein R<sub>4b</sub> is as defined for R<sub>4a</sub>; R<sub>12</sub> is hydrogen, aryl, heterocyclyl, aralkyl, heteroaralkyl, optionally substituted alkyl or alkoxy; or R<sub>12</sub> is -NR<sub>4c</sub>R<sub>5b</sub> in which R<sub>4c</sub> and R<sub>5b</sub> are as defined for R<sub>4a</sub> and R<sub>5a</sub>; or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 16. (original) A compound according to claim 1 which is selected from:

5-Naphthalen-1-ylmethyl-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;  
 [3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-carbamic acid *t*-butyl ester;  
 5-(4-Aminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-carbamic acid *t*-butyl ester;  
 3-Phenyl-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-propionamide;  
 5-(3-Iodo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5-(3-Nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5-(3-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;  
 1,1-Dioxo-5-pyridin-4-ylmethyl-1,2,5-thiadiazolidin-3-one;  
 5-(4-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 N-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-butyramide;  
 1-Propyl-3-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-urea;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;  
 2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;  
 5-(2-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 1,1-Dioxo-5-pyridin-3-ylmethyl-1,2,5-thiadiazolidin-3-one;  
 1,1-Dioxo-5-pyridin-2-ylmethyl-1,2,5-thiadiazolidin-3-one;  
 5-(6-Amino-pyridin-3-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 1,1-Dioxo-5-thiophen-2-ylmethyl-1,2,5-thiadiazolidin-3-one;  
 5-(4-Methoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5-(4-Amino-2-bromo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;  
 N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-methanesulfonamide;  
 N-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-methanesulfonamide;  
 5-(4-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 Amino-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetic acid;  
 2-Amino-N-propyl-2-[2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;  
 2-Amino-N-propyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;

2,2,2-Trifluoro-N-{propylcarbamoyl-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-methyl}-acetamide;

2-Methanesulfonylamino-N-propyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetamide;

2-Acetylamino-N-propyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionamide;

2-Acetylamino-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-malonic acid diethyl ester;

2-Amino-N-propyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionamide;

2-Acetylamino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-propionic acid ethyl ester;

Phenyl-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-acetic acid;

1,1-Dioxo-5-phenethyl-1,2,5-thiadiazolidin-3-one;

5-[2-(4-Methyl-thiazol-5-yl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[2-(3,4-Dimethoxy-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[2-(2-Chloro-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[2-(4-Amino-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

2,2,2-Trifluoro-N-{4-[2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-ethyl]-phenyl}-acetamide;

N-{4-[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-ethyl]-phenyl}-butyramide;

1,1-Dioxo-5-(2-pyridin-3-yl-ethyl)-1,2,5-thiadiazolidin-3-one;

1,1-Dioxo-5-(2-pyridin-4-yl-ethyl)-1,2,5-thiadiazolidin-3-one;

3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;

5-[2-(3-Amino-phenyl)-ethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(4-Aminomethyl-naphthalen-1-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(1-Ethyl-2-methyl-1H-benzimidazol-5-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[2-Methyl-1-(3-methyl-butyl)-1H-benzimidazol-5-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(4-Methoxy-quinolin-7-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(4-Isobutoxy-quinolin-7-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

{(1-Butylcarbamoyl-3-phenyl-propyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{[Butylcarbamoyl-(4-ethyl-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{[Butylcarbamoyl-(3-phenoxy-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{[Butylcarbamoyl-(4-methoxy-phenyl)-methyl]-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;

{{{(2-Bromo-phenyl)-butylcarbamoyl-methyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;  
 (Butylcarbamoyl-naphthalen-2-yl-methyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;  
 {[Butylcarbamoyl-(4-chloro-phenyl)-methyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;  
 {{{(3-Benzyloxy-phenyl)-butylcarbamoyl-methyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;  
 {{{(E)-1-Butylcarbamoyl-3-phenyl-allyl)-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-amino}-acetic acid;  
 N-(1-Butylcarbamoyl-3-phenyl-propyl)-N-(4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl)-amino-acetic acid;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methanesulfonyl-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-chloro-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-butyl-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-hydroxymethyl-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenethyl-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid biphenyl-2-ylmethyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-difluoromethoxy-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-(carboxy-difluoro-methyl)-thiophen-2-ylmethyl ester;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenylmethanesulfonyl]-acetic acid ethyl ester;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylsulfanyl]-acetic acid ethyl ester;  
 5-[4-(3-Methyl-butylsulfanylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-ethyl-butyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclobutylmethyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclopentylmethyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-pentyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,4,4-trimethyl-pentyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclohexylmethyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 1,2-dimethyl-propyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid cyclopentyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-butyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methylsulfanyl-ethyl ester;



4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-carboxymethylsulfanyl-ethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-nitro-furan-2-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid pyridin-2-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-hydroxymethyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-methanesulfonyl-benzyl ester;

(4-{4-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-butyl}-phenyl)-acetic acid;

(4-{3-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-propyl}-phenyl)-acetic acid;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-dimethylaminomethyl-furan-2-ylmethyl ester;

(S)-2-Acetylamino-N-[(S)-1-pentylcarbamoyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-ethyl]-3-phenyl-propionamide;

5-(1H-Indol-5-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

1,1-Dioxo-5-(3,4,5-trimethoxy-benzyl)-1,2,5-thiadiazolidin-3-one;

5-[4-(4-Benzyl-piperazin-1-ylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-acetic acid;

5-(4-Benzoyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-Naphthalen-2-ylmethyl-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[4-(4-Methyl-pentanoyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[3-(2-Fluoro-phenoxy)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

3-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-ethoxy}-benzoic acid;

1-(3-Methyl-butyl)-6-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-1H-quinolin-2-one;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid methyl-phenethyl-amide;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid (2-thiophen-2-yl-ethyl)-amide;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid phenethyl-amide;

[4-(2-{[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carbonyl]-amino}-ethyl)-phenyl]-acetic acid;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid 4-carboxy-benzyl ester;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid isobutyl ester;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid isobutyl-  
amide;

2-Amino-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxy-benzyl ester;

1,1-Dioxo-5-(3-phenoxy-benzyl)-1,2,5-thiadiazolidin-3-one;

3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;

5-(4-Hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;

5-(4-Hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-Nitro-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;

5-Amino-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;

5-(4-Chloro-3-methoxy-5-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(2-Nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Methyl-2-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

1,1-Dioxo-5-(3-phenyl-propyl)-1,2,5-thiadiazolidin-3-one;

5-(4-Butoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

1,1-Dioxo-5-(2-trifluoromethyl-benzyl)-1,2,5-thiadiazolidin-3-one;

3-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;

4-[5-Amino-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-butyric acid;

5-(2-Methyl-3-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(4-Methyl-3-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(5-Methyl-2-nitro-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(2-Amino-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-isoindole-1,3-dione;

2-[3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-isoindole-1,3-dione;

5,5'-[1,4-Phenylenebis(methylene)]bis[1,2,5-thiadiazolidine-3-one], 1,1-dioxide;

N-[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenyl]-oxalamic acid;

5-(3-Hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;

5-[5-(4-Nitro-phenyl)-furan-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(4-Fluoro-2-trifluoromethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Amino-5-hydroxymethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Amino-4-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(2-Amino-3-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(3-Amino-2-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(2-Amino-5-methyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

2,2,2-Trifluoro-N-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzyl]-acetamide;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-pyridine-2-carbonitrile;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-pyridine-2-carboxylic acid ethyl ester;  
 5-(3,4-Dimethoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5-(3-Amino-5-hydroxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5-(3,5-Dimethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 (S)-3-Phenyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;  
 (S)-3-Phenyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;  
 2-Amino-5-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;  
 2-Acetylamino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;  
 5-(2-Benzyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5-(2,4-Bis-trifluoromethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 1,1-Dioxo-5-(2,4,6-trifluoro-benzyl)-1,2,5-thiadiazolidin-3-one;  
 5-(2-Bromo-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 5,5'-[[1,1'-biphenyl]-2,2'-diyl]bis(methylene)bis[1,2,5-Thiadiazolidine-3-one], 1,1-dioxide;  
 5-(4-Ethylaminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 2-Acetylamino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid;  
 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid ethyl ester;  
 1,1-Dioxo-5-[4-(phenethylamino-methyl)-benzyl]-1,2,5-thiadiazolidin-3-one;  
 5-(4-Diethylaminomethyl-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid benzyl ester;  
 N-Benzyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;  
 5-(5-Dimethylaminomethyl-furan-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 N-[2-(3-Trifluoromethyl-phenyl)-ethyl]-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;  
 N-(3-Methyl-butyl)-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;  
 (S)-3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;  
 (R)-3-Phenyl-2-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-propionic acid;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid benzyl ester;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;  
 2-Amino-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid methyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxymethoxy-benzyl ester;  
 5-(5-Aminomethyl-thiophen-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-ethyl}-benzoic acid;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid isobutyl ester;  
 [4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-phenoxy]-acetic acid benzyl ester;  
 N-Isobutyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;  
 5-(5-Diethylaminomethyl-thiophen-2-ylmethyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 4-(2-[[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino]-ethyl)-  
 benzoic acid;  
 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid methyl ester;  
 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid ethyl ester;  
 3-Nitro-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid isobutyl ester;  
 5-(4-Ethoxy-benzyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;  
 1,1-Dioxo-5-(3-trifluoromethyl-benzyl)-1,2,5-thiadiazolidin-3-one;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-carboxymethyl-benzyl  
 ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid phenethyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenylamino-ethyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-methoxy-phenyl)-ethyl  
 ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-(1,1,4-trioxo-1,2,5-  
 thiadiazolidin-2-ylmethyl)-benzyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,2-dimethyl-propyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methoxycarbonyl-2-  
 methyl-propyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2,2,4-trimethyl-pentyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-dimethylamino-2,2-  
 dimethyl-propyl ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid (3aR,4S,5R,6aS)-5-  
 benzoyloxy-2-oxo-hexahydro-cyclopenta[b]furan-4-ylmethyl ester;  
 6-[[5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino]-hexanoic  
 acid;  
 5-{5-[(3-Methyl-butylamino)-methyl]-thiophen-2-ylmethyl}-1,1-dioxo-1,2,5-thiadiazolidin-3-  
 one;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-methyl-4-nitro-benzyl  
 ester;  
 4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-chloro-4-methyl-benzyl  
 ester;  
 5-[5-(Isobutylamino-methyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-ethoxycarbonyl-pentyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-chloro-phenyl)-ethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-m-tolyl-ethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-(3-trifluoromethyl-phenyl)-ethyl ester;

(R)-3-Phenyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzylamino]-propionic acid ethyl ester;

5-[4-(Benzylamino-methyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methyl-benzyl ester;

4-Methyl-6-[[5-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophen-2-ylmethyl]-amino]-hexanoic acid;

4-[(1,1,4-trioxido-1,2,5-thiadiazolidin-2-yl)methyl]-benzoic acid [4-(methoxycarbonyl)-phenyl]methyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-cyclohexyl-2-methyl-propyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-phenoxy-propyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-trifluoromethyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-trifluoromethyl-benzyl ester;

4-[(1,1,4-trioxido-1,2,5-thiadiazolidin-2-yl)methyl]-benzoic acid 2-(4-carboxyphenyl)ethyl ester;

5-[5-(3-Methyl-butyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

3-[[[4-[(1,1,4-Trioxido-1,2,5-thiadiazolidin-2-yl)methyl]benzoyl]-oxy]methyl]benzoic acid;

5-[4-(Isobutylamino-methyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-[4-[(2,2-Dimethyl-propylamino)-methyl]-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-1-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-nitro-benzyl ester;

(4-{2-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoylamino]-ethyl}-phenyl)-acetic acid;

5-[5-(4-Methyl-pentanoyl)-thiophen-2-ylmethyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

5-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-thiophene-2-carboxylic acid;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-nitro-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-(carboxymethyl-amino)-2,2-dimethyl-propyl ester;

5-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyloxymethyl]-thiophene-2-carboxylic acid;

5-[4-(4-Benzyl-piperazin-1-ylmethyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid biphenyl-4-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-acetylamino-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-benzyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 2-methyl-3-nitro-benzyl

ester;

Glycine, N-(aminosulfonyl)-N-[[4-[[[(2-phenylethyl)thio]methyl]phenyl]methyl]-, methyl

ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-carboxymethyl-benzyl

ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-methyl-3-nitro-benzyl

ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-fluoro-2-trifluoromethyl-

benzyl ester;

4-[5-(2,4-Dimethoxy-benzyl)-1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl]-benzoic acid 4-

(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 4-(1,1,4-trioxo-1,2,5-

thiadiazolidin-2-yl)-benzyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-methyl-2-nitro-benzyl

ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid o-tolyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 3-(carboxymethyl-methyl-

amino)-2,2-dimethyl-propyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid phenyl ester

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-isobutylcarbamoyl-

thiophen-2-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-2-ylmethyl ester;

N,N-Diisobutyl-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzamide;

{4-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyl]-piperazin-1-yl}-acetic acid;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid naphthalen-2-yl ester;

5-[4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoyloxymethyl]-thiophene-2-

carboxylic acid isobutyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-carbamoyl-thiophen-2-

ylmethyl ester;

5-[4-(4-Benzyl-piperazine-1-carbonyl)-benzyl]-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-(3-phenyl-propionyl)-thiophen-2-ylmethyl ester;

4-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-ylmethyl)-benzoic acid 5-benzylcarbamoyl-thiophen-2-ylmethyl ester;

1,1-Dioxo-5-phenyl-1,2,5-thiadiazolidin-3-one;

5-(2,4-Diamino-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-benzoic acid methyl ester;

3-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-benzoic acid;

5-(4-Aminomethyl-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-acetic acid methyl ester;

[2-(1,1,4-Trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-acetic acid;

5-(2,4-Dimethoxyphenyl)-1,1-dioxo-[1,2,5]thiadiazolidin-3-one potassium salt;

N-Benzyl-2-[3-methyl-4-(1,1,4-trioxo-[1,2,5]thiadiazolidin-2-yl)-phenoxy]-acetamide;

3-[3-Hydroxy-4-(1,1,4-trioxo-[1,2,5]thiadiazolidin-2-yl)-benzyl]-3,4-dihydro-1H-benzo[1,4]diazepine-2,5-dione;

5-(4-Iodo-phenyl)-1,1-dioxo-1,2,5-thiadiazolidin-3-one;

(S)-2-Amino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionic acid benzyl ester;

(S)-2-Amino-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionic acid;

(S)-2-Acetylamino-N-[(S)-1-pentylcarbamoyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl]-3-phenyl-propionamide;

(S)-2-Acetylamino-3-phenyl-N-[(S)-1-(4-phenyl-butylcarbamoyl)-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl]-propionamide;

[4-(2-[(S)-2-(S)-2-Acetylamino-3-phenyl-propionylamino]-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionylamino)-ethyl)-phenyl]-acetic acid;

2-[4-(2-Benzoylamino-2-[1-carbamoyl-2-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethylcarbamoyl]-ethyl)-phenoxy]-malonic acid;

(S)-2-(Biphenyl-4-sulfonylamino)-N-pentyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;

(S)-2-(Biphenyl-4-sulfonylamino)-N-(4-phenyl-butyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;

(S)-2-Benzenesulfonylamino-N-pentyl-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;

(S)-2-Benzenesulfonylamino-N-(4-phenyl-butyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;

(S)-2-Benzenesulfonylamino-N-(3,3-diphenyl-propyl)-3-[4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-propionamide;

(S)-2-Acetylamino-N-[(S)-2-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-1-

(4-phenyl-butylcarbamoyl)-ethyl]-3-phenyl-propionamide;  
(S)-2-Benzenesulfonylamino-3-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-N-(4-phenyl-butyl)-propionamide;  
(S)-2-((S)-2-Acetylamino-3-phenyl-propionylamino)-3-[3-bromo-4-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-N-pentyl-propionamide; and  
(S)-2-Acetylamino-N-((S)-1-pentylcarbamoyl-2-[3-(1,1,4-trioxo-1,2,5-thiadiazolidin-2-yl)-phenyl]-ethyl)-3-phenyl-propionamide;  
or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

Claim 17. (original) A method for the inhibition of PTP-1B activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

Claim 18. (original) A method for the treatment of conditions associated with PTP-1B activity in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

Claim 19. (original) The method according to claim 18, which method comprises administering said compound in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic, insulin secretagogue, insulinotropic sulfonylurea receptor ligand, insulin sensitizer, alpha-glucosidase inhibitor, GLP-1, GLP-1 analog or mimetic, DPP-IV inhibitor, hypolipidemic agent, cholestyramine, fibrate, nicotinic acid, anti-hypertensive agent, anti-obesity agent, or aspirin.

Claim 20. (original) A method for modulating glucose levels in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

Claim 21. (original) A method for the treatment and/or prevention of diabetes in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

Claim 22. (original) A method for the treatment and/or prevention of metabolic disorders mediated by insulin resistance in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

Claim 23. (original) A method for the treatment and/or prevention of atherosclerosis in mammals which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of an HMG-CoA reductase inhibitor.



Claim 24. (original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with one or more pharmaceutically acceptable carriers.

Claim 25. (original) A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic, insulin secretagogue, insulinotropic sulfonylurea receptor ligand, insulin sensitizer, biguanide, alpha-glucosidase inhibitor, GLP-1, GLP-1 analog or mimetic, DPP-IV inhibitor, hypolipidemic agent, cholestyramine, fibrate, nicotinic acid, anti-hypertensive agent, anti-obesity agent, or aspirin.

Claim 26. (currently amended) A pharmaceutical composition according to claim 24 ~~or 25~~ for the treatment of diabetes, atherosclerosis and metabolic disorders mediated by insulin resistance.

Claim 27. (new) A pharmaceutical composition according to claim 25 for the treatment of diabetes, atherosclerosis and metabolic disorders mediated by insulin resistance.